WEST Search History

DATE: Monday, June 23, 2003

Set Name side by side		Hit Count	Set Name result set
DB = US	SPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=OR		
L10	L4 and binder and lubricant and disintegrant and diluent	10	L10
L9	15 and lactose-free	8	L9
L8	astemizole	643	L8
L7	L4 and astemizole	55	L7
L6	L4 same astemizole	52	L6
L5	L4 or astemizole	657	L5
L4	norastemizole	69	L4
L3	norastemizol	3	L3
L2	norastemizole and coated same particles	5	L2
L1	norastemizole same coated same particles	2	Ll

END OF SEARCH HISTORY

(FILE 'HOME' ENTERED AT 18:22:13 ON 23 JUN 2003)

L1

FILE 'REGISTRY' ENTERED AT 18:22:46 ON 23 JUN 2003 E NORASTEMIZOLE/CN 1 SEA ABB=ON PLU=ON NORASTEMIZOLE/CN D L1

	FILE 'CAPL	US' ENTERED AT 18:23:45 ON 23 JUN 2003							
L2	65	SEA ABB=ON PLU=ON L1							
L3	12	SEA ABB=ON PLU=ON L1 AND (TABLET OR CAPSULE)							
L4	0	SEA ABB=ON PLU=ON L1 (P) (PARTICLE OR PARTICULATE OR							
		MICROPARRTICLE) AND COATED							
L5	0	SEA ABB=ON PLU=ON L1 (P) (PARTICLE OR PARTICULATE OR							
		MICROPARTICLE) AND COATED							
		D L3 IBIB KWIC 1-							
L6	5	SEA ABB=ON PLU=ON (L2 OR L3) AND (MICROCRYSTALLINE CELLULOSE							
		OR PREGELATINIZED STARCH OR MAGNESIUM STEARATE OR CROSCARMELLO							
		SE SODIUM)							
L7	1	SEA ABB=ON PLU=ON (L2 OR L3) AND (MICROCRYSTALLINE CELLULOSE							
) AND PREGELATINIZED STARCH AND MAGNESIUM STEARATE AND							
		CROSCARMELLOSE SODIUM							
		D L7 IBIB							
		D L6IBIB KWIC 1-							
		D L6 IBIB KWIC 1-							
L8	57	SEA ABB=ON PLU=ON COATED (P) (TABLET OR CAPSULE) AND							
	·	FILM-FORM? (P) (METHYLCELLULOSE OR ETHYLCELLULOSE OR CELLULOSE							
		DERIVATIVE OR HPMC OR HYDROXYPROPYL METHYL CELLULOSE OR							
	_	CARBOXYMETHYLCELLULOSE)							
L9		SEA ABB=ON PLU=ON L8 AND CROSSLINKED (5A) ETHYLCELLULOSE							
L10	57	SEA ABB=ON PLU=ON COATED (P) (TABLET OR CAPSULE) AND							
		FILM-FORM? (P) (CROSSLINKED (5A) ETHYLCELLULOSE OR METHYLCELLULO							
		SE OR ETHYLCELLULOSE OR CELLULOSE DERIVATIVE OR HPMC OR							
		HYDROXYPROPYL METHYL CELLULOSE OR CARBOXYMETHYLCELLULOSE)							
L11	1	SEA ABB=ON PLU=ON L10 AND (NORASTEMIZOLE OR BENZIMIDAZOLE)							
	_	D L11 IBIB KWIC 1-							
L12	2	SEA ABB=ON PLU=ON BENZIMIDAZOLE (P) NORASTEMIZOLE							
		D L12 IBIB KWIC 1-							

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1990:558680 CAPLUS

DOCUMENT NUMBER: 113:158680

TITLE: Oral preparation of an acid-unstable compound

INVENTOR(S): Saeki, Yasuharu; Koyama, Noritoshi; Watanabe, Sumio;

Aoki, Shigeru

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan SOURCE: Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO	. KIND	DATE	1	DATE	
EP 342522 EP 342522	A1 B1	19891123 19911218	1	EP 1989-108492	19890511
R: A	T, BE, CH, DE	, ES, FR,	GB, GR	, IT, LI, LU, N	L, SE
JP 012906	28 A2	19891122		JP 1988-121233	19880518
JP 070681	25 B4	19950726			
US 503589	9 A	19910730	. 1	US 1989-332731	19890404
FI 890165	0 A	19891119	1	FI 1989-1650	19890406
FI 93422	В	19941230			
FI 93422	C	19950410			
CA 133695	8 A1	19950912	(CA 1989-598474	19890502
AT 70442	E	19920115	I	AT 1989-108492	19890511
ES 205191	9 T3	19940701]	ES 1989-108492	19890511
NO 890193	5 A	19891120	1	NO 1989-1935	19890512
NO 178135	В	19951023			
NO 178135	С	19960131			
DD 283771	A5	19901024	I	DD 1989-328639	
· DK 890239	1 A	19891119	I	DK 1989-2391	19890517
HU 51896	A2	19900628	I	HU 1989-2461	19890517
HU 203200	В	19910628			
PRIORITY APPLN	. INFO.:			1988-121233	19880518
			EP :	1989-108492	19890511

AB An oral prepn. of an acid-unstable compd. comprises a core contg. the unstable compd., a 1st layer, coated on the core, comprising a hardly water-sol., film-forming material and fine particles of a hardly water-sol. compd., suspended in the material; and a 2nd layer, coated on the 1st layer of enteric film. Thus an acid-unstable compd. (I), mannitol, MgO, and hydroxypropyl cellulose (HPC) were granulated, and mixed with a granulation contg. cellulose, starch, and HPC along with CM-cellulose, talc, and Mg stearate and tableted. The tablets were coated with am EtOH soln. contg. Et cellulose with silicic anhydride dispersed in the soln. Finally, the intermediated-coated tablets were coated with a 80% EtOH-H2O soln. contg. hydroxypropyl Me cellulose phthalate, TiO2, talc, and Myvacet 9-40T to give enteric coated tablets.

ST tablet enteric acid unstable drug; benzimidazole drug acid unstable tablet

IT Pharmaceutical dosage forms

(tablets, enteric-coated, for acid unstable drugs)

ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:124005 CAPLUS DOCUMENT NUMBER: 128:208908 TITLE: Treatment of upper airway allergic responses with a combination of histamine receptor antagonists Kreutner, William; Hey, John A. INVENTOR(S): Schering Corporation, USA PATENT ASSIGNEE(S): PCT Int. Appl., 23 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _____ ______ A1 19980219 WO 9806394 WO 1997-US13903 19970813 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG ZA 9707263 Α 19980216 ZA 1997-7263 19970813 AU 9739733 A1 19980306 AU 1997-39733 19970813 AU 722040 20000720 B2 19990609 EP 1997-937153 19970813 EP 920315 A1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO BR 9711149 19990817 BR 1997-11149 19970813 Α 19970813 CN 1233179 19991027 CN 1997-198713 Α JP 2000505094 T2 20000425 JP 1998-509859 19970813 NZ 334063 A 20000929 NZ 1997-334063 19970813 A2 20030403 JP 2002-222138 19970813 JP 2003095979 KR 2000029975 Α 20000525 KR 1999-701226 19990212 NO 1999-706 19990215 NO 9900706 Α 19990215 US 1996-689951 A 19960816 PRIORITY APPLN. INFO.: JP 1998-509859 A3 19970813 WO 1997-US13903 W 19970813 REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT Relief from the symptoms of rhinitis is obtained by treatment with: (a) an AB antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components. The nasal airways resistance following injection of 3 mg/kg loratadine and 10 mg/kg thioperamide in cats was 2.1 as compared with 10.2 for loratadine alone. A tablet contained H1 antagonist

effective amt., H3 antagonist effective amt., lactose 100, 10% corn starch past 5, dried corn starch 25, and magnesium stearate 1.25 mg.

ST upper airway allergy histamine receptor antagonist; loratadine --------thioperamide nasal decongestant tablet

IT Drug delivery systems RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(capsules; treatment of upper airway allergic responses with combination of histamine receptor antagonists)

IT Drug delivery systems RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tablets; treatment of upper airway allergic responses with combination of histamine receptor antagonists) 58-73-1, Diphenhydramine 59-33-6 60-87-7, Promethazine ΙT Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6 91-81-6, Tripelennamine 113-92-8 129-03-3, Cyproheptadine 486-12-4, Triprolidine 486-16-8, Carbinoxamine 523-87-5, Dimenhydrinate 562-10-7 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 14838-15-4, Phenylpropanolamine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 39577-19-0, Picumast 46129-28-6, Skf-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole **75970-99-9**, Norastemizole 79313-75-0, Sopromidine 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, EPinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, 90729-42-3, Carebastine 87848-99-5, Acrivastine Temelastine 99616-14-5, S-Sopromidine 90729-43-4. Ebastine 100643-71-8. Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, 110588-56-2, Noberastine 145231-45-4, Clobenpropit Mizolastine 150036-88-7, Verongamine 150756-35-7, Efletirizine 152030-16-5, UCL 152241-24-2, Gt-2016 176860-26-7, GR 175737 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(treatment of upper airway allergic responses with combination of histamine receptor antagonists)

=> d his full

L6

L7

(Uses)

(FILE 'HOME' ENTERED AT 18:22:13 ON 23 JUN 2003)

FILE 'REGISTRY' ENTERED AT 18:22:46 ON 23 JUN 2003 E NORASTEMIZOLE/CN

L1 1 SEA ABB=ON PLU=ON NORASTEMIZOLE/CN D L1

FILE 'CAPLUS' ENTERED AT 18:23:45 ON 23 JUN 2003

- L2 65 SEA ABB=ON PLU=ON L1
- L3 12 SEA ABB=ON PLU=ON L1 AND (TABLET OR CAPSULE)
- L4 0 SEA ABB=ON PLU=ON L1 (P) (PARTICLE OR PARTICULATE OR MICROPARRTICLE) AND COATED
- L5 · 0 SEA ABB=ON PLU=ON L1 (P) (PARTICLE OR PARTICULATE OR MICROPARTICLE) AND COATED D L3 IBIB KWIC 1-
 - 5 SEA ABB=ON PLU=ON (L2 OR L3) AND (MICROCRYSTALLINE CELLULOSE OR PREGELATINIZED STARCH OR MAGNESIUM STEARATE OR CROSCARMELLO SE SODIUM)
 - 1 SEA ABB=ON PLU=ON (L2 OR L3) AND (MICROCRYSTALLINE CELLULOSE) AND PREGELATINIZED STARCH AND MAGNESIUM STEARATE AND CROSCARMELLOSE SODIUM

D-L7-IBIB--

- D L6IBIB KWIC 1-
- D L6 IBIB KWIC 1-

î

> d l3 ibib kwic l-YOU HAVE REQUESTED DATA FROM 12 ANSWERS - CONTINUE? Y/(N):y ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2003:202410 CAPLUS DOCUMENT NUMBER: 138:226705 TITLE: Novel pharmaceuticals comprising drug conjugates with polypeptide carriers INVENTOR(S): Picariello, Thomas PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA SOURCE: PCT Int. Appl., 2059 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _____ _____ 20030313 WO 2001-US43117 20011116 WO 2003020200 A2 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2000-248600P P 20001116 PRIORITY APPLN. INFO.: US 2000-248601P P 20001116 US 2000-248603P P 20001116 US 2000-248604P P 20001116 US 2000-248606P P 20001116 US 2000-248607P P 20001116 US 2000-248608P P 20001116 US 2000-248609P P 20001116 US 2000-248611P P 20001116 US 2000-248689P P 20001116 US 2000-248691P P 20001116 US 2000-248692P P 20001116 US 2000-248693P P 20001116 US 2000-248694P P 20001116 US 2000-248695P P 20001116 US 2000-248696P P 20001116 US 2000-248697P P 20001116 US 2000-248698P P 20001116 US 2000-248701P P 20001116 US 2000-248702P P 20001116 US 2000-248703P P 20001116 US 2000-248704P P 20001116 US 2000-248705P P 20001116 US 2000-248706P P 20001116 US 2000-248707P P 20001116 -US-2000-248708P---P--20001-1-1-6-US 2000-248709P P 20001116 US 2000-248710P P 20001116 US 2000-248711P P 20001116 US 2000-248712P P 20001116 IT Drug delivery systems (tablets; novel pharmaceuticals comprising drug conjugates

(tablets; novel pharmaceuticals comprising drug conjugates with polypeptide carriers)

IT 50-06-6D, Phenobarbital, polypeptide conjugates 50-35-1D, Thalidomide,

50-81-7D, Vitamin c, polypeptide conjugates polypeptide conjugates 51-21-8D, Fluorouracil, polypeptide conjugates 51-48-9D, Levothyroxine, 52-01-7D, Spironolactone, polypeptide conjugates polypeptide conjugates 52-24-4D, Thiotepa, polypeptide conjugates 52-53-9D, Verapamil, polypeptide conjugates 53-03-2D, Prednisone, polypeptide conjugates 55-63-0D, Nitroglycerin, polypeptide conjugates 57-27-2D, Morphine, 57-41-0D, Phenytoin, polypeptide conjugates polypeptide conjugates 57-63-6D, Ethinyl estradiol, polypeptide conjugates 58-55-9D, Theophylline, polypeptide conjugates 58-93-5D, Hydrochlorothiazide, 60-54-8D, Tetracycline, polypeptide conjugates polypeptide conjugates 60-87-7D, Promethazine, polypeptide conjugates 67-20-9D, Nitrofurantoin, 68-19-9D, Vitamin b12, polypeptide conjugates polypeptide conjugates 71-58-9D, 68-22-4D, Norethindrone, polypeptide conjugates Medroxyprogesterone acetate, polypeptide conjugates 72-69-5D, Nortriptyline, polypeptide conjugates 74-79-3D, Arginine, polypeptide 76-42-6D, Oxycodone, polypeptide conjugates Codeine, polypeptide conjugates 81-81-2D, Warfarin, polypeptide conjugates 83-43-2D, Methylprednisolone, polypeptide conjugates 87-08-1D, 84-02-6D, Prochlorperazine maleate, polypeptide conjugates Penicillin v, polypeptide conjugates 89-57-6D, Mesalamine, polypeptide 90-82-4D, Pseudoephedrine, polypeptide conjugates conjugates 99-66-1D, Valproic acid, polypeptide conjugates 103-90-2D, Acetaminophen, 113-45-1D, Methylphenidate, polypeptide polypeptide conjugates 114-07-8D, Erythromycin, polypeptide conjugates conjugates 125-33-7D, 128-13-2D, Ursodiol, polypeptide Primidone, polypeptide conjugates conjugates 396-01-0D, Triamterene, polypeptide conjugates 443-48-1D. Metronidazole, polypeptide conjugates 469-62-5D, Propoxyphene, polypeptide conjugates 525-66-6D, Propranolol, polypeptide conjugates 541-15-1D, Levocarnitine, polypeptide conjugates 554-13-2D, Lithium carbonate, polypeptide conjugates 595-33-5D, Megestrol acetate, 604-75-1D, Oxazepam, polypeptide conjugates polypeptide conjugates 657-24-9D, Metformin, polypeptide conjugates 846-49-1D, Lorazepam, polypeptide conjugates 846-50-4D, Temazepam, polypeptide conjugates 1247-42-3D, Methylprednisone, polypeptide conjugates 1404-90-6D, Vancomycin, polypeptide conjugates 1508-65-2D, Oxybutynin chloride, 1665-48-1D, Metaxalone, polypeptide conjugates polypeptide conjugates 1744-22-5D, Riluzole, polypeptide conjugates 2078-54-8D, Propofol, polypeptide conjugates 2152-34-3D, Pemoline, polypeptide conjugates 3056-17-5D, Stavudine, polypeptide conjugates 3930-20-9D, Sotalol, polypeptide conjugates 4682-36-4D, Orphenadrine citrate, polypeptide conjugates 6493-05-6D, Pentoxifylline, polypeptide conjugates 6893-02-3D, TriIodothyronine, polypeptide conjugates 9002-69-1D, Relaxin, polypeptide conjugates 9004-10-8D, Insulin, polypeptide 9005-49-6D, Heparin, polypeptide conjugates conjugates 9014-42-0D, Thrombopoietin, polypeptide conjugates 9039-53-6D, Urokinase, polypeptide conjugates 10118-90-8D, Minocycline, polypeptide conjugates 11056-06-7D, Bleomycin, 10540-29-1D, Tamoxifen, polypeptide conjugates polypeptide conjugates 13392-28-4D, Rimantadine, polypeptide conjugates 14611-51-9D, Selegiline, polypeptide conjugates 17560-51-9D, Metolazone, polypeptide conjugates 19767-45-4D, Mesna, polypeptide conjugates 19794-93-5D, Trazodone, polypeptide conjugates 21256-18-8D, Oxaprozin, polypeptide conjugates 21829-25-4D, Nifedipine, polypeptide conjugates 22204-53-1D, Naproxen, polypeptide conjugates 23031-32-5D, Terbutaline sulfate, polypeptide conjugates 27203-92-5D, Tramadol, polypeptide conjugates -27314-97-2D, Tirapazamine, polypeptide conjugates 30516-87-1D, Zidovudine, polypeptide conjugates 31441-78-8D, Mercaptopurine, polypeptide conjugates 33069-62-4D, Paclitaxel, 36791-04-5D, Ribavirin, polypeptide conjugates polypeptide conjugates 37300-21-3D, Pentosan polysulfate, polypeptide conjugates 40391-99-9D, polypeptide conjugates 42200-33-9D, Nadolol, polypeptide conjugates 42924-53-8D, Nabumetone, polypeptide conjugates 49842-07-1D, Tobramycin sulfate, polypeptide conjugates 50700-72-6D, Vecuronium, polypeptide conjugates 50851-57-5D, polypeptide conjugates 51321-79-0D, Sparfosic

```
acid, polypeptide conjugates
                               51322-75-9D, Tizanidine, polypeptide
conjugates 51384-51-1D, Metoprolol, polypeptide conjugates
52232-67-4D, Teriparatide, polypeptide conjugates
                                                    52757-95-6D,
Sevelamer, polypeptide conjugates
                                   53179-11-6D, Loperamide, polypeptide
conjugates 53230-10-7D, Mefloquine, polypeptide conjugates
54024-22-5D, Desogestrel, polypeptide conjugates
                                                  54182-58-0D,
Sucralfate, polypeptide conjugates 55142-85-3D, Ticlopidine, polypeptide
            56211-40-6D, Torsemide, polypeptide conjugates
conjugates
                                                             59122-46-2D,
Misoprostol, polypeptide conjugates 61477-96-1D, Piperacillin,
                       61512-21-8D, Thymosin, polypeptide conjugates
polypeptide conjugates
61869-08-7D, Paroxetine, polypeptide conjugates 63590-64-7D, Terazosin,
polypeptide conjugates
                        63675-72-9D, Nisoldipine, polypeptide conjugates
65271-80-9D, Mitoxantrone, polypeptide conjugates
                                                    65807-02-5D,
Goserelin, polypeptide conjugates 66085-59-4D, Nimodipine, polypeptide
            66104-22-1D, Pergolide, polypeptide conjugates 66357-35-5D,
conjugates
Ranitidine, polypeptide conjugates 68562-41-4D, Mecasermin, polypeptide
           68693-11-8D, Modafinil, polypeptide conjugates
conjugates
                                                              70458-96-7D,
Norfloxacin, polypeptide conjugates
                                      73590-58-6D, Omeprazole, polypeptide
             74381-53-6D, Leuprolide acetate, polypeptide conjugates
conjugates
75330-75-5D, Lovastatin, polypeptide conjugates 75970-99-9D,
Norastemizole, polypeptide conjugates
                                        76470-66-1D, Loracarbef,
polypeptide conjugates
                       76547-98-3D, Lisinopril, polypeptide conjugates
76963-41-2D, Nizatidine, polypeptide conjugates
                                                  79517-01-4D, Octreotide
acetate, polypeptide conjugates
                                79617-96-2D, Sertraline, polypeptide
             79794-75-5D, Loratidine, polypeptide conjugates
conjugates
79902-63-9D, Simvastatin, polypeptide conjugates
                                                   81093-37-0D,
                                     81627-83-0D, Mcsf, polypeptide
Pravastatin, polypeptide conjugates
             82419-36-1D, Ofloxacin, polypeptide conjugates
                                                             82626-48-0D.
conjugates
Zolpidem, polypeptide conjugates
                                   82657-92-9D, Prourokinase, polypeptide
conjugates 83015-26-3D, Tomoxetine, polypeptide conjugates
83200-96-8D, Carbapenem, polypeptide conjugates
                                                  83366-66-9D, Nefazodone,
polypeptide conjugates 83799-24-0D, Fexofenadine, polypeptide conjugates
84449-90-1D, Raloxifene, polypeptide conjugates 85441-61-8D, Quinapril,
polypeptide conjugates 85650-52-8D, Mirtazapine, polypeptide conjugates
87333-19-5D, Ramipril, polypeptide conjugates
                                              87679-37-6D, Trandolapril,
polypeptide conjugates 90566-53-3D, Fluticasone, polypeptide conjugates
91161-71-6D, Terbinafine, polypeptide conjugates
                                                   91374-21-9D,
                                    91421-42-0D, Rubitecan, polypeptide
Ropinirole, polypeptide conjugates
conjugates 93413-69-5D, Venlafaxine, polypeptide conjugates
95635-55-5D, Ranolazine, polypeptide conjugates 96036-03-2D, Meropenem,
polypeptide conjugates
                       96829-58-2D, Orlistat, polypeptide conjugates
97240-79-4D, Topiramate, polypeptide conjugates 97322-87-7D,
Troglitazone, polypeptide conjugates 99614-02-5D, Ondansetron,
polypeptide conjugates 100286-97-3D, Milrinone lactate, polypeptide
conjugates
            100986-85-4D, Levofloxacin, polypeptide conjugates
103475-41-8D, Tepoxalin, polypeptide conjugates 103628-46-2D,
Sumatriptan, polypeptide conjugates
                                     103775-10-6D, Moexipril, polypeptide
           104632-26-0D, Pramipexole, polypeptide conjugates
conjugates
106133-20-4D, Tamsulosin, polypeptide conjugates
                                                 106266-06-2D,
Risperidone, polypeptide conjugates 106392-12-5D, Poloxamer 188,
polypeptide conjugates
                        106650-56-0D, Sibutramine, polypeptide conjugates
107753-78-6D, Zafirlukast, polypeptide conjugates
                                                  109768-33-4D, Sulfx,
polypeptide conjugates
                        111025-46-8D, Pioglitazone, polypeptide
             111974-72-2D, Quetiapine fumarate, polypeptide conjugates
TI2733-06-9D, Zenarestat, polypeptide conjugates 114798-26-4D, Losartan, ---
                        114977-28-5D, Docetaxel, polypeptide conjugates
polypeptide conjugates
115103-54-3D, Tiagabine, polypeptide conjugates 117976-89-3D,
Rabeprazole, polypeptide conjugates
                                    121032-29-9D, Nelarabine,
                       121584-18-7D, Valspodar, polypeptide conjugates
polypeptide conjugates
121679-13-8D, Naratriptan, polypeptide conjugates
                                                  123774-72-1D,
Sargramostim, polypeptide conjugates 123948-87-8D, Topotecan, polypeptide conjugates 124584-08-3D, Nesiritide, polypeptide conjugates
124832-26-4D, Valacyclovir, polypeptide conjugates 124937-51-5D.
```

Tolterodine, polypeptide conjugates 125317-39-7D, Vinorelbine tartrate, polypeptide conjugates 127254-12-0D, Sitafloxacin, polypeptide conjugates 127779-20-8D, Saquinavir, polypeptide conjugates 128298-28-2D, Remacemide, polypeptide conjugates 128794-94-5D, Mycophenolate mofetil, polypeptide conjugates 129580-63-8D, Satraplatin, polypeptide conjugates 129618-40-2D, Nevirapine, polypeptide conjugates 130018-77-8D, Levocetirizine, polypeptide conjugates 131918-61-1D, Paricalcitol, polypeptide conjugates 132539-06-1D, Olanzapine, 133737-32-3D, Pagoclone, polypeptide conjugates polypeptide conjugates 135062-02-1D, 133814-19-4D, Mivacurium, polypeptide conjugates Repaglinide, polypeptide conjugates 135354-02-8D, Xaliproden, polypeptide conjugates 137234-62-9D, Voriconazole, polypeptide conjugates 137281-23-3D, Pemetrexed, polypeptide conjugates 137862-53-4D, Valsartan, polypeptide conjugates 138531-07-4D, 138660-96-5D, Sevirumab, polypeptide Sinapultide, polypeptide conjugates 139264-17-8D, Zolmitriptan, polypeptide conjugates 139639-23-9D, Tissue plasminogen activator, analogs, polypeptide conjugates 143558-00-3D, Rocuronium, polypeptide conjugates 144494-65-5D, Tirofiban, polypeptide conjugates 144980-29-0D, Repinotan, polypeptide conjugates 145202-66-0D, Rizatriptan benzoate, polypeptide 145375-43-5D, Mitiglinide, polypeptide conjugates conjugates 145941-26-0D, Oprelvekin, polypeptide conjugates 147059-75-4D, Trovafloxacin mesylate, polypeptide conjugates 148553-50-8D, Pregabalin, 148883-56-1D, Tifacogin, polypeptide conjugates polypeptide conjugates 151319-34-5D, Zaleplon, polypeptide conjugates 153168-05-9D, Pleconaril, polypeptide conjugates 154039-60-8D, Marimastat, polypeptide conjugates 155141-29-0D, Rosiglitazone maleate, polypeptide conjugates 155213-67-5D, Ritonavir, polypeptide conjugates 158966-92-8D. 159989-65-8D, Nelfinavir mesylate. Montelukast, polypeptide conjugates 162011-90-7D, Rofecoxib, polypeptide conjugates polypeptide conjugates 166089-32-3D, Lintuzumab, polypeptide conjugates 171228-49-2D, Posaconazole, polypeptide conjugates 171599-83-0D, Sildenafil citrate, 180288-69-1D, Trastuzumab, polypeptide conjugates polypeptide conjugates 181695-72-7D, Valdecoxib, polypeptide conjugates 188039-54-5D, Palivizumab, polypeptide conjugates 192329-42-3D, Prinomastat, polypeptide conjugates 193079-69-5D, Tabimorelin, polypeptide conjugates 201341-05-1D, Tenofovir disoproxil, polypeptide conjugates RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (novel pharmaceuticals comprising drug conjugates with polypeptide carriers)

```
L3 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS
```

ACCESSION NUMBER:

2002:889557 CAPLUS

DOCUMENT NUMBER:

137:375287

TITLE: INVENTOR(S): Pharmaceutical compositions comprising norastemizole Redmon, Martin P.; Butler, Hal T.; Wald, Stephen A.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S.

Ser. No. 719,843, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English 2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.		KIND	DATE		A	APPLICATION NO.				DATE				
						-								
US 20021	73522	A1	20021	121		US	5 200	02-79	5616		2002	0215		
WO 98423	79	A2	19981	001		W() 199	98 - US	S570	1	1998	0325		
WO 98423	79	A3	20010	301										
W :	AL, AM,	AU, AZ	, BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	GH,
	GW, HU,	ID, IL	, IS,	JP,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LV,	MD,
	MG, MK,	MN, MX	, NO,	NZ,	PL,	RO,	RU,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,

```
TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
               FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
               GA, GN, ML, MR, NE, SN, TD, TG
                  A 19981001
                                                ZA 1998-2562
                                                                  19980326
      ZA 9802562
                                            US 1997-824477 B2 19970326
US 1997-824477 B2 19970326
US 1997-851786 B2 19970506
US 1997-851786 B2 19970506
PRIORITY APPLN. INFO.:
                                             WO 1998-US5701 W 19980325
                                             US 2000-719843 B2 20001121
                                             US 2000-721711 B2 20001127
ΙT
      Drug delivery systems
         (capsules; pharmaceutical compns. comprising norastemizole)
IT
      75970-99-9, Norastemizole
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (pharmaceutical compns. comprising norastemizole)
      ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:566682 CAPLUS
DOCUMENT NUMBER:
                           135:142257
                           Single-dose antihistamine/decongestant formulations
TITLE:
                           for treating rhinitis
                           Weinstein, Robert E.; Weinstein, Allan M.
INVENTOR(S):
                        J-Med Pharmaceuticals, Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                            U.S. Pat. Appl. Publ., 5 pp., Cont.-in-part of U.S.
                            Ser. No. 550,761.
                            CODEN: USXXCO
DOCUMENT TYPE:
                            Patent
. LANGUAGE :
                            English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:
      PATENT NO. KIND DATE APPLICATION NO. DATE
     US 2001011102 A1 20010802

US 6521254 B2 20030218

US 6051585 A 20000418 US 1998-206713 19981207

US 1998-206713 A2 19981207

US 2000-550761 A2 20000417
PRIORITY APPLN. INFO.:
TΤ
         (tablets, controlled-release; single-dose
         antihistamine/decongestant formulations for treating rhinitis)
     90-82-4, Pseudoephedrine 14838-15-4, Phenylpropanolamine 68844-77-9, Astemizole 75970-99-9, NorAstemizole 79794-75-5, Loratadine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 100643-71-8
ΙT
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (single-dose antihistamine/decongestant formulations for treating
         rhinitis)
L3
     ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:525909 CAPLUS
DOCUMENT NUMBER: 135:111997
TITLE: Osmotic device containing pseudoephedrine and an H1
                 INVENTOR(S): Faour, Joaquina; Ricci, Marcelo A.

PATENT ASSIGNEE(S): Laboratorios Phoenix U.S.A., Inc., USA
                          PCT Int. Appl., 46 pp.
SOURCE:
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
                            English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
```

PATENT INFORMATION:

```
APPLICATION NO. DATE
     PATENT NO.
                      KIND DATE
                     ---- ---<del>---</del>
     WO 2001051038
                       A1
                             20010719
                                            WO 2001-US528
                                                              20010108
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 2002102305
                      A1 20020801
                                          US 2000-725655 20001129
                                            EP 2001-900942
     EP 1246612
                       Α1
                             20021009
                                                             20010108
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                                              20010108
     BR 2001007596
                            20021119
                                             BR 2001-7596
                                         US 2000-175878P P 20000113
PRIORITY APPLN. INFO.:
                                                           A 20001129
                                         US 2000-725655
                                         WO 2001-US528
                                                           W 20010108
                                THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                          2
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
     The present invention provides an osmotic device contg. controlled release
AB
     pseudoephedrine in the core in combination with a rapid release H1
     antagonist in an external coat. A wide range of H1 antagonist
     antihistamines, esp. fexofenadine, can be used in this device.
                                                                        Particular
     embodiments of the invention provide osmotic devices having predetd.
     release profiles. One embodiment of the osmotic device includes an
     external coat that has been spray coated rather than compression coated
     onto the device. The device with spray coated external core is smaller
     and easier to swallow than the similar device having a compression coated
     external coat. The device is useful for the treatment of respiratory
     congestion related disorders and allergy related disorders. The present
     devices provide PS and an H1 antagonist according to specific release
     profiles in combination with specific formulations. Thus, tablets
     contained pseudoephedrine-HCl 24.00, osmagent 7-90, diluent 30-40, binder
     40-60, plasticizer 0.5-5, glidant 0.5-5, and lubricant 5-10 mg in the
     core, cellulose ester, plasticizer, water-sol. polymer, filler, colorant,
     fexofenadine-HCl in the coating formulation.
ΙT
     Drug delivery systems
        (tablets, osmotic release; osmotic device contg.
        pseudoephedrine and H1 antagonist)
                                               50-99-7, Glucose, biological
     50-70-4, Sorbitol, biological studies
IT
               56-81-5, Glycerin, biological studies 57-50-1, Sucrose,
     biological studies 57-55-6, Propylene glycol, biological studies
     60-87-7, Promethazine 63-42-3, Lactose 69-65-8, Mannitol
                                                                      77-92-9D,
                                                hacrylate 90-82-4,
102-76-1, Triacetin
     Citric acid, esters 80-62-6, Methyl methacrylate
                                                                         109-43-3,
     Pseudoephedrine
                      97-64-3, Ethyl lactate
     Dibutyl sebacate 138-22-7, Butyl lactate
                                                   321-97-1, D-Pseudoephedrine
     471-34-1, Calcium carbonate, biological studies
                                                         623-50-7, Ethyl
                 670-40-6, D-Pseudoephedrine hydrochloride
                                                              7440-23-5D,
     glycolate
                                            7440-70-2D, Calcium, compds.,
     Sodium, compds., biological studies
                          7647-14-5, Sodium chloride, biological studies
     biological studies
     7757-93-9, Dibasic-calcium-phosphate---9000-30-0, Guar gum---9002-89-5, ---
                            9003-39-8, Poly(vinylpyrrolidone)
                                                                9004-32-4,
     Poly(vinyl alcohol)
                                      9004-32-4, Carboxymethyl cellulose
     Sodium carboxymethyl cellulose
     9004-34-6, Cellulose, biological studies 9004-34-6D, Cellulose, esters
     or ethers, biological studies 9004-35-7, Cellulose acetate
                                                                       9004-38-0,
     Cellulose acetate phthalate 9004-65-3, Hydroxypropyl methyl cellulose
     9005-25-8, Starch, biological studies
                                             9005-32-7, Alginic acid
     9063-38-1, Sodium starch glycolate 13463-67-7, Titanium oxide,
```

biological studies 14807-96-6, Talc, biological studies

24937-78-8,

Poly(ethylene-vinyl acetate) 25086-89-9 25322-68-3, Poly(ethylene glycol) 25322-69-4, Poly(propylene glycol) 39301-46-7, Calcium pectinate 50679-08-8, Terfenadine 58581-89-8, Azelastine .68844-77-9, Astemizole 75970-99-9, Norastemizole 79794-75-5, Loratadine 80012-43-7, Epinastine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 87848-99-5, ACrivastine 90729-43-4, Ebastine 106392-12-5, Poloxamer 108612-45-9, Mizolastine 108929-04-0 151137-53-0 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (osmotic device contg. pseudoephedrine and H1 antagonist) ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:875749 CAPLUS DOCUMENT NUMBER: 134:33001 Alkali metal and alkaline-earth metal salts of TITLE: acetaminophen INVENTOR(S): Ohannesian, Lena A.; Nadig, David; Higgins, John D., III; Rey, Max; Martellucci, Stephen A. PATENT ASSIGNEE(S): McNeill-PPC, Inc., USA U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 987,210, SOURCE: abandoned. CODEN: USXXAM DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE KIND DATE PATENT NO. ----------US 6160020 WO 9966919 20001212 US 1998-100284 19980619 19991229 WO 1999-US13064 19990609 Α A1 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 1999-43380 19990609 AU 9943380 A1 20000110 PRIORITY APPLN. INFO.: US 1996-771176 B2 19961220 US 1997-987210 B2 19971209 US 1998-100284 A 19980619 WO 1999-US13064 W 19990609 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 30 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT Isolated salts of acetaminophen are disclosed. Alkali metal and alk.-earth metal salts of acetaminophen are formed by reacting the free acid of acetaminophen with the corresponding metal hydroxide and then immediately isolating the resulting salt. These salts have been found to be more water sol. and less bitter in taste than the free acid form of acetaminophen. The isolated salts may also be combined with other active ingredients. A tablet contained calcium acetaminophen 368.23, chlorpheniramine maleate 2, microcryst. cellulose 520.77, silica 4.5, and Mg stearate 4.5 mg. acetaminophen metal salt prepn tablet; tablet calcium -- -- -- -- -acetaminophen chlorpheniramine maleate Drug delivery systems (tablets; oral compns. contq. acetaminophen metal salt and other actives) 50-78-2, Acetyl salicylic acid 51-43-4, Epinephrine 51-55-8, Atropine, biological studies 53-86-1, Indomethacin 58-08-2, Caffeine, biological

studies 58-55-9, Theophylline, biological studies 58-73-1, Diphenhydramine 59-33-6, Pyrilamine 59-42-7, Phenylephrine

ST

ΙT

IT

Promethazine 68-88-2, Hydroxyzine 73-31-4, Melatonin 76-42-6, Oxycodone 76-57-3, Codeine 77-09-8, Phenolphthalein 77-19-0, Dicyclomine 77-22-5, Caramiphen 77-23-6, Carbetapentane 86-22-6, Brompheniramine 90-82-4, Pseudoephedrine 91-81-6, Tripelennamine 93-14-1, Guaifenesin 104-31-4, Benzonatate; 113-92-8 125-29-1, Hydrocodone 125-71-3, Dextromethorphan 128-62-1, Noscapine 129-03-3, Cyproheptadine 132-21-8, Dexbrompheniramine 299-42-3, Ephedrine; 317-34-0, Aminophylline 364-62-5, Metoclopramide 466-99-9, Hydromorphone 471-34-1, Calcium carbonate, biological studies 486-12-4, Triprolidine 554-10-9, 3-Iodo-1,2-propanediol 562-10-7, Doxylamine 586-06-1, Metaproterenol 606-04-2, Pamabrom. 616-91-1 642-72-8, Benzydamine 791-35-5, Chlophedianol 915-30-0, Diphenoxylate 2451-01-6, Terpin hydrate 3572-43-8, Bromhexine 3964-81-6, Azatadine 5104-49-4, Flurbiprofen 7020-55-5, Clidinium 7683-59-2, Isoprenaline 8050-81-5, Simethicone 12125-02-9, Ammonium chloride, biological studies 14838-15-4, Phenylpropanolamine 14882-18-9, Bismuth subsalicylate 15307-86-5, Diclofenac 15687-27-1, Ibuprofen 16958-94-4 18053-31-1, 18559-94-9, Albuterol; 18683-91-5, Ambroxol 21645-51-2, Fominoben Aluminum hydroxide, biological studies 22071-15-4, Ketoprofen 22204-53-1, Naproxen 23031-25-6, Terbutaline 25523-97-1, Dexchlorpheniramine 27203-92-5, Tramadol 29679-58-1, Fenoprofen 29975-16-4, Estazolam 30392-40-6, Bitolterol 33005-95-7, Tiaprofenic 34580-13-7, Ketotifen 35719-43-8 36322-90-4, Piroxicam acid 36950-96-6, Cicloprofen 38194-50-2, Sulindac 41340-25-4, Etodolac 42924-53-8, Nabumetone 50679-08-8, Terfenadine 51481-61-9, Cimetidine 53179-11-6, Loperamide; 53716-49-7, Carprofen 51803-78-2, Nimesulide 54182-58-0, Sucralfate 57644-54-9, Bismuth subcitrate 61869-07-6, 66357-35-5, Ranitidine 68844-77-9, Astemizole Domiodol 71125-38-7, 73590-58-6, Omeprazole 74103-06-3, Ketorolac 74978-16-8, Meloxicam Magaldrate 75970-99-9, Norastemizole 76824-35-6, Famotidine 76963-41-2, Nizatidine 79794-75-5, Loratidine 80937-31-1, Flosulide 81098-60-4, Cisapride 82626-48-0, Zolpidem 83799-24-0, Fexofenadine; 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 180200-68-4 209967-48-6 209967-50-0 169590-42-5, Celecoxib 209967-51-1 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (oral compns. contg. acetaminophen metal salt and other actives)

```
L3 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1999:819235 CAPLUS
```

DOCUMENT NUMBER: 132:54898

TITLE: Pharmaceutical composition containing a salt of

acetaminophen and at least one other active ingredient

INVENTOR(S): Ohannesian, Lena A.; Nadig, David; Higgins, John D.,

III; Rey, Max; Martellucci, Stephen A.

PATENT ASSIGNEE(S): Mcneil-PPC, Inc., USA SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

```
PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9966919 A1 19991229 WO 1999-US13064 19990609

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
```

```
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1998-100284
     US 6160020
                      Α
                           20001212
                                                           19980619
                           20000110
                                          AU 1999-43380
                                                           19990609
     AU 9943380
                      A1
                                                      A 19980619
PRIORITY APPLN. INFO.:
                                       US 1998-100284
                                                        B2 19961220
                                       US 1996-771176
                                       US 1997-987210
                                                        B2 19971209
                                       WO 1999-US13064 W 19990609
REFERENCE COUNT:
                        10
                              THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB
     This invention relates to pharmaceutical compns. comprising an alkali or
     alk.-earth metal salt of acetaminophen and at least one other active
     ingredient selected from the group consisting of analgesics,
     decongestants, expectorants, antitussives, antihistamines,
     gastrointestinal agents, diuretics, bronchodilators and mixts. thereof.
     The acetaminophen salts have both improved aq. soly. and a less bitter
     taste than the free acid form of acetaminophen. A tablet
     contained acetaminophen calcium salt 368.23, chlorpheniramine maleate 2,
     microcryst. cellulose 520.77, Cab-O-Sil M5 4.5, and Mg stearate 4.5 mg.
ST
     tablet acetaminophen salt drug combination
IT
     Drug delivery systems
        (tablets; pharmaceutical compns. contg. acetaminophen salts
        and other drugs)
IT
                                    51-43-4, Epinephrine
                                                           51-55-8, Atropine,
     50-78-2, Acetylsalicylic acid
                                                58-08-2, Caffeine, biological
     biological studies 53-86-1, Indomethacin
              58-55-9, Theophylline, biological studies
     studies
                                                         58-73-1,
                      59-33-6, Pyrilamine
                                           59-42-7, Phenylephrine
     Diphenhydramine
                                          73-31-4
                   68-88-2, Hydroxyzine
                                                    76-42-6, Oxycodone
     Promethazine
                       77-09-8, Phenolphthalein
                                                  77-19-0, Dicyclomine
     76-57-3, Codeine
                                                    86-22-6, Brompheniramine
     77-22-5, Caramiphen
                          77-23-6, Carbetapentane
     90-82-4, Pseudoephedrine
                              91-81-6, Tripelennamine
                                                         93-14-1, Guaifenesin
               104-31-4, Benzonatate 113-92-8, Chlorpheniramine maleate
     103-90-2
                           125-69-9, Dextromethorphan hydrobromide
     125-29-1, Hydrocodone
     125-71-3, Dextromethorphan
                               128-62-1, Noscapine 129-03-3,
                    132-21-8, Dexbrompheniramine
                                                  147-24-0, Diphenhydramine
     Cyproheptadine
     hydrochloride
                    299-42-3, Ephedrine
                                         317-34-0, Aminophylline 345-78-8,
     Pseudoephedrine hydrochloride
                                   364-62-5, Metoclopramide
                                                               466-99-9,
     Hydromorphone 471-34-1, Calcium carbonate, biological studies
     486-12-4, Triprolidine 554-10-9, 3-Iodo-1,2-propanediol
     Doxylamine 586-06-1, Metaproterenol 606-04-2, Pamabrom
                                                               616-91-1,
                      642-72-8, Benzydamine 791-35-5, Chlophedianol
     N-Acetylcysteine
     915-30-0, Diphenoxylate 2451-01-6, Terpin hydrate 3572-43-8,
                 3964-81-6, Azatadine 5104-49-4, Flurbiprofen 7020-55-5,
     Bromhexine
                7683-59-2, Isoprenaline 8024-48-4, Casanthranol 8050-81-5,
     Clidinium
     Simethicone
                  12125-02-9, Ammonium chloride, biological studies
     14838-15-4, Phenylpropanolamine
                                     14882-18-9, Bismuth subsalicylate
     15307-86-5, Diclofenac 15687-27-1
                                          16958-94-4
                                                     18053-31-1, Fominoben
                            18683-91-5, Ambroxol
     18559-94-9, Albuterol
                                                   21645-51-2, Aluminum
     hydroxide (Al(OH)3), biological studies
                                              22071-15-4, Ketoprofen
     22204-53-1, Naproxen 23031-25-6, Terbutaline
                                                     25523-97-1,
     Dexchlorpheniramine
                          27203-92-5, Tramadol
                                                29679-58-1, Fenoprofen
                                                     33005-95-7, Tiaprofenic
     29975-16-4, Estazolam
                            30392-40-6, Bitolterol
                                                36322-90-4, Piroxicam
                                   35719-43-8
           34580-13-7, Ketotifen
     acid
    36950-96-6, Cicloprofen 38194-50-2, Sulindac 41340-25-4, Etodolac
                            50679-08-8, Terfenadine 51481-61-9, Cimetidine
     42924-53-8, Nabumetone
                 53179-11-6, Loperamide
                                         53716-49-7, Carprofen
                                                                  57644-54-9,
     51803-78-2
                        61869-07-6, Domiodol
                                                66357-35-5, Ranitidine
     Bismuth subcitrate
     68844-77-9, Astemizole
                             71125-38-7, Meloxicam
                                                     73590-58-6, Omeprazole
     74103-06-3, Ketorolac
                            74978-16-8, Magaldrate 75970-99-9,
                    76824-35-6, Famotidine
                                             76963-41-2, Nizatidine
     Norastemizole
     79794-75-5, Loratidine 80937-31-1, Flosulide
                                                    82626-48-0, Zolpidem
     83799-24-0, Fexofenadine
                              83881-51-0, Cetirizine
                                                        86181-42-2,
```

87848-99-5, Acrivastine 169590-42-5, Celecoxib Temelastine 209967-47-5 209967-48-6 209967-50-0 209967-51-1 180200-68-4 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. contg. acetaminophen salts and other drugs) ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1999:425758 CAPLUS DOCUMENT NUMBER: 131:63456 TITLE: Composition for treating respiratory and skin diseases, comprising at least one leukotriene antagonist and at least one antihistamine Jensen, Peder K.; Lorber, Richard R.; Danzig, Melvyn INVENTOR(S): R.; Medeiros, Paul T. PATENT ASSIGNEE(S): Schering Corporation, USA SOURCE: PCT Int. Appl., 22 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE · FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE --------------------WO 9932125 Al 19990701 WO 1998-US26223 19981221 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG ZA 9811731 Α 19990621 ZA 1998-11731 19981221 AA 19990701 CA 1998-2315721 19981221 CA 2315721 AU 1999-19071 AU 9919071 A1 19990712 19981221 AU 758771 20030327 B2 BR 1998-14417 19981221 EP 1998-963828 19981221 BR 9814417 20001010 Α A1 EP 1041990 20001011 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO JP 2001526232 T220011218 JP 2000-525116 19981221 NO 2000-3288 NO 2000003288 Α 20000822 20000622 P 19971223 PRIORITY APPLN. INFO.: US 1997-68638P US 1998-78638P P 19980319 WO 1998-US26223 W 19981221 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT capsule leukotriene antagonist antihistamine; respiratory skin disease leukotriene antagonist antihistamine Drug delivery systems (capsules; compn. for treating respiratory and skin diseases, comprising at least one leukotriene antagonist and at least one antihistamine) Drug delivery systems (tablets; compn. for treating respiratory and skin diseases, comprising at least one leukotriene antagonist and at least one antihistamine) 90-82-4, Pseudoephedrine 93-14-1, Guaifenesin 125-71-3, Dextromethorphan 68844-77-9, Astemizole 75970-99-9, Norastemizole 80012-43-7, Epinastine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 90729-43-4, Ebastine 100643-71-8 103177-37-3,

ST

ΙT

IT

IT

Pranlukast 107753-78-6, Zafirlukast 149413-74-1 150756-35-7, Efletirizine 152952-65-3 158966-92-8, Montelukast 172927-32-1 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compn. for treating respiratory and skin diseases, comprising at least one leukotriene antagonist and at least one antihistamine)

ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1999:104511 CAPLUS

DOCUMENT NUMBER:

130:163188

TITLE:

Treatment of upper airway allergic responses with H1-

and H3-histamine receptor antagonists

INVENTOR(S):

Kreutner, William; Hey, John A.

PATENT ASSIGNEE(S):

Schering Corporation, USA

SOURCE:

U.S., 5 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE ______ US 1997-909319 19970814 US 5869479 A 19990209 PRIORITY APPLN. INFO.: US 1997-909319 19970814

16 REFERENCE COUNT: THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Drug delivery systems TT

(capsules; H1- and H3-histamine receptor antagonists for treatment of rhinitis)

ΙT Drug delivery systems

> (tablets; H1- and H3-histamine receptor antagonists for treatment of rhinitis)

58-73-1, Diphenhydramine 59-33-6 60-87-7, Promethazine ΙT Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6, Brompheniramine 91-81-6, Tripelennamine 113-92-8, Chlorpheniramine 129-03-3, Cyproheptadine 486-12-4, Triprolidine 486-16-8, Carbinoxamine 523-87-5, Dimenhydrinate 562-10-7 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 34973-91-6, Impentamine 39577-19-0, Picumast 46129-28-6, SKF-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole **75970-99-9**, Norastemizole 79313-75-0, Sopromidine 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, Epinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 90729-42-3, Carebastine 90729-43-4, Ebastine 99616-14-5, S-Sopromidine 100643-71-8, Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, Mizolastine 110588-56-2, Noberastine 145231-45-4, Clobenpropit 148440-81-7 150756-35-7, Efletirizine 152241-24-2, GT-2016 176860-26-7, GR 175737 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

T(H1- and H3-histamine receptor antagonists for treatment of rhinitis) --

ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1998:672493 CAPLUS

DOCUMENT NUMBER:

129:281025

TITLE:

Chemically and thermally stable norastemizole

formulations

INVENTOR(S):

Redmon, Martin P.; Butler, Hal T.; Wald, Stephen A.

PATENT ASSIGNEE(S): Sepracor Inc., USA

PCT Int. Appl., 58 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
APPLICATION NO. DATE
    PATENT NO.
                   KIND DATE
                          _____
                   ----
                                         -----
    WO 9842379
                     A2
                          19981001
                                        WO 1998-US5701 19980325
    WO 9842379
                    A3
                          20010301
        W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
            GW, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD,
            MG, MK, MN, MX, NO, NZ; PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR,
            TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
            FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
            GA, GN, ML, MR, NE, SN, TD, TG
                                        AU 1998-68680
                                                         19980325
    AU 9868680
                     A1
                         19981020
    AU 735257
                     B2
                          20010705
    BR 9808428
                          20000523
                                        BR 1998-8428
                                                         19980325
                     Α
    EP 1035869
                                        EP 1998-914283 19980325
                    A1
                          20000920
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
    JP 2002512614
                     T2
                          20020423
                                         JP 1998-545893
                                                         19980325
    ZA 9802562
                     Α
                          19981001
                                         ZA 1998-2562
                                                         19980326
                          19991115
                                         NO 1999-4655
                                                         19990924
    NO 9904655
                     Α
                   A1
                                         US 2002-75616
                                                        20020215
    US 2002173522
                          20021121
                                      US 1997-824477 A2 19970326
PRIORITY APPLN. INFO.:
                                      US 1997-851786
                                                     A2 19970506
                                      WO 1998-US5701
                                                     W 19980325
                                      US 2000-719843 B2 20001121
                                      US 2000-721711 B2 20001127
```

AB The present invention relates to chem. and thermally stable pharmaceutical formulations of the potent antihistamine, norastemizole (I). The compns. are lactose-free, non-hygroscopic, or anhyd., or comprise large particles or inertly coated I, or a pharmaceutically acceptable salt thereof, and are stable and easily manufd. A capsule compn. was prepd. contg. I 2.5, microcryst. cellulose 90.0, pregelatinized starch 100.3, croscarmellose 7.0, and Mg stearate 0.2 mg/capsule.

ΙT Drug delivery systems

(capsules; chem. and thermally stable norastemizole formulations)

ITDrug delivery systems

(tablets; chem. and thermally stable norastemizole formulations)

IΤ **75970-99-9**, Norastemizole

> RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (chem. and thermally stable norastemizole formulations)

ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:124005 CAPLUS

DOCUMENT NUMBER:

128:208908

TITLE:---

--- Treatment of upper airway-allergic-responses with a----

combination of histamine receptor antagonists

INVENTOR(S):

Kreutner, William; Hey, John A.

PATENT ASSIGNEE(S):

Schering Corporation, USA

SOURCE:

PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

(Uses)

```
PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     ----
                            -----
                                           ------
     WO 9806394
                      A1
                            19980219
                                          WO 1997-US13903 19970813
         W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL,
             IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,
             NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
     ZA 9707263
                      Α
                            19980216
                                           ZA 1997-7263
                                                            19970813
     AU 9739733
                      · A1
                            19980306
                                           AU 1997-39733
                                                            19970813
     AU 722040
                       B2
                            20000720
     EP 920315
                       A1
                            19990609
                                           EP 1997-937153
                                                            19970813
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     BR 9711149
                            1999081.7
                       Α
                                           BR 1997-11149
                                                            19970813
     CN 1233179
                       Α
                            19991027
                                           CN 1997-198713
                                                            19970813
     JP 2000505094
                       T2
                            20000425
                                           JP 1998-509859
                                                            19970813
     NZ 334063
                       Α
                            20000929
                                           NZ 1997-334063
                                                            19970813
     JP 2003095979
                       Α2
                            20030403
                                           JP 2002-222138
                                                            19970813
     KR 2000029975
                      Α
                            20000525
                                           KR 1999-701226
                                                            19990212
     NO 9900706
                       Α
                            19990215
                                          NO 1999-706
                                                            19990215
PRIORITY APPLN. INFO.:
                                        US 1996-689951
                                                       A 19960816
                                        JP 1998-509859
                                                        A3 19970813
                                        WO 1997-US13903 W 19970813
REFERENCE COUNT:
                         6
                               THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AΒ
     Relief from the symptoms of rhinitis is obtained by treatment with: (a) an
     antihistaminic effective amt. of a histamine H1 receptor antagonist;
     together with (b) a sufficient amt. of a histamine H3 receptor antagonist
     to provide a nasal decongestant effect. The components may be
     administered together in a single dosage form, or sep. in the same or
     different dosage forms to maintain therapeutic systemic levels of both
     components. The nasal airways resistance following injection of 3 mg/kg
     loratadine and 10 mg/kg thioperamide in cats was 2.1 as compared with 10.2
     for loratadine alone. A tablet contained H1 antagonist
     effective amt., H3 antagonist effective amt., lactose 100, 10% corn starch
     past 5, dried corn starch 25, and magnesium stearate 1.25 mg.
ST
     upper airway allergy histamine receptor antagonist; loratadine
     thioperamide nasal decongestant tablet
IT
     Drug delivery systems
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
        (capsules; treatment of upper airway allergic responses with
       combination of histamine receptor antagonists)
IT
     Drug delivery systems
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
```

-combination of histamine receptor antagonists) ------58-73-1, Diphenhydramine 59**-**33-6 60-87-7, Promethazine 68-88-2, Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6 91-81-6, Tripelennamine 113-92-8 129-03-3, Cyproheptadine 486-12-4, 486-16-8, Carbinoxamine Triprolidine 523-87-5, Dimenhydrinate 562-10-7 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 14838-15-4, Phenylpropanolamine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 39577-19-0, Picumast

(tablets; treatment of upper airway allergic responses with

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

46129-28-6, Skf-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole 75970-99-9, Norastemizole 79313-75-0, Sopromidine 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, EPinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 90729-42-3, Carebastine 90729-43-4, Ebastine 99616-14-5, S-Sopromidine 100643-71-8, Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, Mizolastine 110588-56-2, Noberastine 145231-45-4, Clobenpropit 150036-88-7, Verongamine 150756-35-7, Efletirizine 152030-16-5, UCL 1199 152241-24-2, Gt-2016 176860-26-7, GR 175737 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of upper airway allergic responses with combination of histamine receptor antagonists)

L3 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:315821 CAPLUS

DOCUMENT NUMBER: 120:315821

TITLE: Use of norastemizole for the treatment of allergic

disorders

A1 19980723

20010301

20010213

20010213

20010731

B2

B1 B1

В1

INVENTOR(S): Woosley, Raymond L.; Aberg, A. K. Gunnar PATENT ASSIGNEE(S): Sepracor Inc., USA; Georgetown University

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

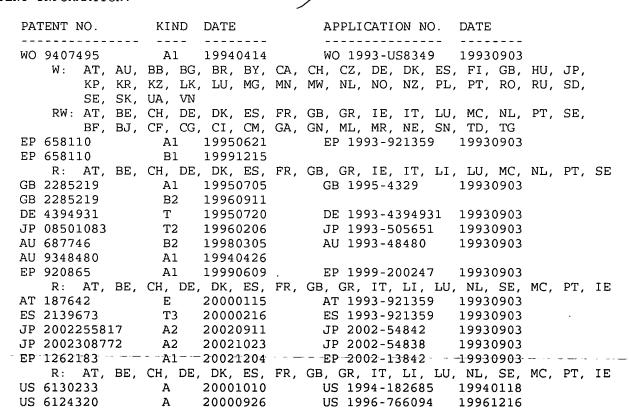
AU 9869884

AU 730229

US 6187794

US 6187795

US 6268382



AU 1998-69884

US 2000-481439

US 2000-551613

US 2000-650645

19980604

20000112

20000417

20000830

```
US 6303632
                            20011016
                                           US 2001-835149
                                                            20010416
                       В1
     US 2001053787
                      A1
                            20011220
     US 6384054
                      B1
                            20020507
                                           US 2001-956003
                                                            20010920
     US 2002137768
                      Α1
                            20020926
                                           US 2002-108294
                                                            20020328
     US 6458809
                      B2
                           20021001
PRIORITY APPLN. INFO.:
                                                         A 19920903
                                        US 1992-940054
                                                         A3 19930903
                                        EP 1993-921359
                                        EP 1999-200247
                                                         A3 19930903
                                        JP 1994-505651
                                                         A3 19930903
                                        WO 1993-US8349
                                                         W 19930903
                                        US 1994-182685
                                                        A1 19940118
                                        US 1996-766094
                                                         A3 19961216
                                        US 2000-481439
                                                        A3 20000112
                                        US 2000-551613
                                                        A1 20000417
                                        US 2000-650645
                                                         A1 20000830
                                        US 2001-835149
                                                         A1 20010416
                                        US 2001-956003
                                                         A1 20010920
AB
     A pharmaceutical compn. comprises norastemizole or a pharmaceutically
     acceptable salt for use in an antihistaminic treatment which does not
     induce any significant cardiac arrhythmia. Norastemizole was up to 100
     .times. more potent than astemizole as an antihistaminic and 10-20 .times.
     less potent in causing the serious arrhythmogenic side effect known to be
     assocd. with astemizole and thus has a therapeutic index 1000-2000 .times.
     higher than the therapeutic index of the parent compd. Capsule
     and tablet formulations are described.
IT
     Pharmaceutical dosage forms
        (capsules, of antihistaminic norastemizole, for treatment of
        allergic disorders)
IT
     Pharmaceutical dosage forms
        (tablets, of antihistaminic norastemizole, for treatment of
        allergic disorders)
ΙT
     75970-99-9, Norastemizole
     RL: BIOL (Biological study)
        (as antihistaminic for treatment of allergic disorders)
     ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
                        1984:432761 CAPLUS
DOCUMENT NUMBER:
                         101:32761
                         The pharmacokinetics and metabolism of astemizole in
TITLE:
                         man
                         Heykants, J.
AUTHOR (S):
                         Dep. Drug Metab. Pharmacokinet., Janssen Pharm.,
CORPORATE SOURCE:
                         Beerse, Belg.
                         Medicine Publishing Foundation Symposium Series
SOURCE:
                         (1984), 11 (Astemizole: New Non-Sedat. Long-Acting
                         H1-Antagonist), 25-34
                         CODEN: MPFSDF; ISSN: 0260-0242
DOCUMENT TYPE:
                         Journal
                         English
LANGUAGE:
IT
     Digestive tract
        (astemizole absorption by, from tablet or suspension, in
        humans)
IT
     68844-77-9
     RL: BIOL (Biological study)
        (bioavailability and pharmacokinetics of, in humans, from
        tablet or suspension)
                28030-12-8
                            73736-50-2 75970-99-9
                                                     90836-16-1
TT
     104-01-8
     90836-17-2
                  90836-18-3
                              90836-19-4
     RL: FORM (Formation, nonpreparative)
        (formation of, as astemizole metabolite, in humans)
```

=> file reg COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 18:22:46 ON 23 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3 DICTIONARY FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

```
=> e norastemizole/cn
       1
                      NORASPERENAL C/CN
E1
E2
              1
                      NORASPERENAL D/CN
E3
              1 --> NORASTEMIZOLE/CN
E4
              1 NORASTEMIZOLE HYDROBROMIDE/CN
E5
              1
                    NORASTEMIZOLE HYDROCHLORIDE/CN
             1 NORATHEROSPERMININE/CN
1 NORATHYRIOL/CN
1 NORATHYRIOL TETRABENZOATE/CN
1 NORATHYRIOL TETRACINNAMATE/CN
1 NORATHYRIOL TETRACROTONATE/CN
1 NORATHYRIOL TETRANICOTINATE/CN
             1
E6
E7
E8
E9
E10
E11
                    NORATHYRIOL TETRAPALMITATE/CN
E12
              1
=> d e3
NO L# DEFINED
=> s e3
           1 NORASTEMIZOLE/CN
=> d l1
1.1
     ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS
RN
      75970-99-9 REGISTRY
CN
      1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-4-piperidinyl- (9CI)
- -- - (CA-I-NDEX _NAME)
OTHER NAMES:
```

1-(4-Fluorobenzyl)-2-(4-piperidylamino)benzimidazole

1-(4-Fluorophenylmethyl)-2-(4-piperidylamino)benzimidazole

CN Norastemizole

CN Soltara

CN T 1348

Tecastemizole CN

MF C19 H21 F N4

CI COM LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CEN, CIN, CSCHEM, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL (*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 65 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 65 REFERENCES IN FILE CAPLUS (1957 TO DATE)